TRENDS IN PHARMACOLOGICAL SCIENCES **REFERENCE EDITION**

Volume 11 **1990**

CONTENTS

JANUARY

Meeting Report
Excitatory amino acid receptors: NMDA modulatory sites, kainate cloned and a new role in AIDS, *John Lehmann, John C. R. Randle and Ian J. Reynolds* 1

Current Awareness
Is the adipocyte β-adrenoceptor a prototype for the recently cloned atypical 'β_3-adrenoceptor'? *Johan Zaagsma and Stefan R. Nahorski* 3

Letters
Too early to subtype imidazoline receptors, *P. Bousquet* 7

Special Feature
The contribution of drugs and toxins to understanding of cholinergic function, *Victor P. Whittaker* 8

Comment
Pharmacological receptors: the need for a compendium of classification, nomenclature and structure, *Jack Peter Green* 13

Principles
Agonist potency and apparent affinity: interpretation using classical and steady-state ternary-complex models, *Dennis Mackay* 17

Reviews
Les agents provocateurs: a series on the pharmacology of excitatory amino acids, *David Lodge and Graham Collingridge* 22
EAA PHARMACOLOGY: Structure–activity relationships in the development of excitatory amino acid receptor agonists and competitive antagonists, *Jeff C. Watkins, Povl Krogsgaard-Larsen and Tage Honoré* 25
P_2 purinoceptors on vascular endothelial cells: physiological significance and transduction mechanisms, *Jean-Marie Boeynaems and Jeremy D. Pearson* 34
Widening potential for Ca^{2+} antagonists: non-L-type Ca^{2+} channel interaction, *Gerald Zernig* 38

Books
Botulinum Neurotoxin and Tetanus Toxin, *edited by Lance L. Simpson* 45
Human Drug Kinetics: A Course of Simulated Experiments, *by L. Saunders, D. Ingram and S. H. D. Jackson* 45
Airway Smooth Muscle in Health and Disease, *edited by Ronald F. Coburn* 46
Multiple Choice Questions and Case Histories in Clinical Chemistry, *by D. R. McDowell and C. Weinkove* 47
Cytokines in Cancer Therapy, *by Frances Balkwill* 47

FEBRUARY

Meeting Report
5-HT_3 antagonists and ligands for dopamine D_1- and autoreceptors offer new leads for antipsychotic drugs, *Alison Abbott* 49

Current Awareness
5-Lipoxygenase: a rational target for therapy of inflammatory bowel disease? *John L. Wallace* 51

Current Techniques
Refinements in the *in-vitro* slice technique and human neuropharmacology, *David A. McCormick* 53

This and That: cheap drinks and expensive drugs, *B. Max* 56

Letters
Tyrian and hyacinth purples, *Irving I. Ziderman* 60
Signs of support, *Robert R. Ruffolo, Jr* 61

Special Feature
How reserpine and chlorpromazine act: the impact of key discoveries on the history of psychopharmacology, *Gerald Curzon* 61

Principles
Errors in agonist affinity estimation: do they and should they occur in isolated tissue experiments? *Paul Leff, Iain G. Dougall, Diane H. Harper and Ian A. Dainty* 64

Reviews
Airway epithelium-derived inhibitory factor, *Roy G. Goldie, Lynette B. Fernandes, Stephen G. Farmer and Douglas W. P. Hay* 67
κ-Opioid receptors and analgesia, *Mark J. Millan* 70
Opioids can evoke direct receptor-mediated excitatory effects on sensory neurons, *Stanley M. Crain and Ke-Fei Shen* 77
EAA PHARMACOLOGY: Noncompetitive excitatory amino acid receptor antagonists, *David Lodge and Kenneth M. Johnson* 81

Books
Neuromethods Volume 12: Drugs as Tools in Neurotransmitter Research, *edited by Alan A. Boulter, Glen B. Baker and Augusto V. Juorio* 87
Autoimmunity and Toxicology: Immune Disregulation Induced by Drugs and Chemicals, *edited by M. E. Kammüller, N. Bloksma and W. Seinen* 88
Psychoactive Drugs: Tolerance and Sensitization, *edited by A. J. Goudie and M. W. Emmett-Oglesby* 88
Heparin: Chemical and Biological Properties, Clinical Applications, *edited by David A. Lane and Ulf Lindahl* 89
Neurotransmitters, Drugs and Disease, *edited by R. A. Webster and C. C. Jordan* 90
New editions 90

MARCH

Meeting Report
Are molecular and electrophysiological data refining models of agonism? *Donald Jenkinson and Alison Abbott* 91
Brain 5-HT_{1A} receptor agonism: a novel mechanism for antihypertensive action, *Pramod R. Saxena and Carlos M. Villalón* 95

Current Awareness
Endothelin: isoforms, binding sites and possible implications in pathology, *Winifred G. Nayler* 96

Current Techniques
Mass spectrometry at high mass: virtues and vices of some new approaches, *Simon J. Gaskell* 99

Letters
Legislation and drug abuse, *Gabriel G. Nahas* 101
Agonist potency, affinity and efficacy, *Dennis Mackay* 102
$GABA_A$ receptor: complex picture confused, *Erwin Sigel* 103
$GABA_B$ receptors and spasticity, *U. Wüllner and T. Klockgether* 103

Comment
Alternatives to animal experimentation: developing *in-vitro* methods and changing legislation, *Gerhard Zbinden* 104

Reviews
Regulation of free calmodulin levels by neuromodulin: neuron growth and regeneration, *Yuechueng Liu and Daniel R. Storm* 107
New ligands for L-type Ca^{2+} channels, *David Rampe and David J. Triggle* 112
In-vivo brain dialysis of neurotransmitters, *Gaetano Di Chiara* 116
Somnogenic activity of immune response modifiers, *James M. Krueger* 122
EAA PHARMACOLOGY: Excitatory amino acid receptors in the brain: membrane binding and receptor autoradiographic approaches, *Anne B. Young and Graham E. Fagg* 126

Books
Brainstorming: The Science and Politics of Opiate Research, *by Solomon H. Snyder* 133
Human Psychopharmacology: Measures and Methods, *edited by I. Hindmarch and P. D. Stonier* 134

APRIL

Meeting Report
Consensus meeting agrees distribution of 5-HT_3 receptors in mammalian hindbrain, *Gerard D. Pratt, Norman G. Bowery, Gavin J. Kilpatrick, Ronald A. Leslie, Nicholas M. Barnes, Robert J. Naylor, Brian J. Jones, David R. Nelson, José M. Palacios, Paul Slater and D. John M. Reynolds* 135

Current Awareness
NMDA receptors excite alcohol research, *Rueben A. Gonzales* 137
Developing selective inhibitors of calpain, *Kevin K. W. Wang* 139

Obituary: Adrien Albert, *Graham A. R. Johnston* 142

This and That: an unsuitable eponym, leeches, and the transmutation of the disciplines, *B. Max* 143

Letters
Neuromodulatory effects of dextromethorphan: role of NMDA receptors in responses, *John Church* 146
Tortella and Bowery reply 147

Viewpoint
Enantiomers: how valid is Pfeiffer's rule? *Richard Barlow* 148

Reviews
Primary sequence of cyclic nucleotide phosphodiesterase isozymes and the design of selective inhibitors, *Joseph A. Beavo and David H. Reifsnyder* 150
New selective bradykinin receptor antagonists and bradykinin B_2 receptor characterization, *Domenico Regoli, Nour-Eddine Rhaleb, Stéphane Dion and Guy Drapeau* 156
Free radicals and ischemic tissue injury, *Steven W. Werns and Benedict R. Lucchesi* 161
EAA PHARMACOLOGY: Mechanisms of blockade of excitatory amino acid receptor channels, *John F. MacDonald and Linda M. Nowak* 167

Books
Catecholamines II, *edited by U. Trendelenburg and N. Weiner* 172

MAY

Meeting Report
New targets for drug action: is high selectivity always beneficial? *Bertil Fredholm and Alison Abbott* 175

Current Awareness
Acetylcholine release is modulated by different opioid receptor types in different brain regions and species, *Ivan Izquierdo* 179
m-CPP: a tool for studying behavioural responses associated with 5-HT_{1C} receptors, *Gerald Curzon and Guy A. Kennett* 181
Alzheimer's disease: is there a problem beyond recognition?, *Christopher J. Fowler, Cora O'Neill, Anita Garlind and Richard F. Cowburn* 183

Letter
D_1 antagonist in clinical trial, *Richard E. Chipkin* 185

Reviews
Modulation of neurogenic inflammation: novel approaches to inflammatory disease, *Peter J. Barnes, Maria G. Belvisi and Duncan F. Rogers* 185
Role of phosphorylation in desensitization of the β-adrenoceptor, *Robert J. Lefkowitz, William P. Hausdorff and Marc G. Caron* 190
Synthetic peptide vaccines against pathogens and biological mediators, *Gilles J. Riveau and Françoise M. Audibert* 194
Targets and strategies for the antiviral chemotherapy of AIDS, *Erik De Clercq* 198
EAA PHARMACOLOGY: Excitatory amino acids and synaptic transmission: the evidence for a physiological function, *P. Max Headley and Sten Grillner* 205

Books
Elements of Molecular Neurobiology, *by C. U. M. Smith* 211

JUNE

Meeting Report
The sigma enigma: biochemical and functional correlates emerge for the haloperidol-sensitive sigma binding site, *Charles Chavkin* 213

Current Awareness
The paradox of nicotinic acetylcholine receptor upregulation by nicotine, *Susan Wonnacott* 216
Glutamate: a new target in schizophrenia? *Helmut Wachtel and Lechoslaw Turski* 219
Therapeutic potential for melanocortins in peripheral nerve disease, *Willem Hendrik Gispen* 221

Current Techniques
A 'cocktail' strategy to assess *in vivo* oxidative drug metabolism in humans, *D. D. Breimer and J. H. M. Schellens* 223

This and That: on artificial fats and the first effective treatment for fits, *B. Max* 225

Letters
The phenylethanolaminotetralines: new selective agonists for atypical β-adrenoceptors, *Luciano Manara and Alberto Bianchetti** 229
Objectives of radical antivivisectionists? *David Sinclair* 230

Viewpoint
Dopamine receptor subtypes: beyond the D_1/D_2 classification, *Peter H. Andersen, Jay A. Gingrich, Michael D. Bates, Allen Dearry, Pierre Falardeau, Susan E. Senogles and Marc G. Caron* 231

Special Feature
The history of pharmacology in the Netherlands, *E. L. Noach* 236

Reviews
Remodeling of the vascular tree in hypertension: drug effects, *Harry A. J. Struyker Boudier, Luc M. A. B. van Bortel and Jo G. R. De Mey* 240
Neutral endopeptidase-24.11 inhibitors: from analgesics to antihypertensives? *Bernard P. Roques and Ann Beaumont* 245
VIP neurons in the cerebral cortex, *Pierre J. Magistretti* 250
EAA PHARMACOLOGY: Excitatory amino acid receptors, second messengers and regulation of intracellular Ca^{2+} in mammalian neurons, *Mark L. Mayer and Richard J. Miller* 254

Books
Introduction to Neuropharmacology, *by Philip B. Bradley* 260
Handbook of Stereoisomers: Therapeutic Drugs, *edited by Donald F. Smith* 261
Platelet-Activating Factor and Human Disease, *edited by Peter J. Barnes, Clive P. Page and Peter M. Henson* 262

Software Review
GraphPAD INPLOT version 3.0 263

JULY

Meeting Reports
Complexity of 5-HT pharmacology compounded by electrophysiological data, *Graeme Henderson* 265
Improving the climate for women and minorities in academic and scientific careers, *Ann Horrell* 267

Current Awareness
Receptor-regulated Ca^{2+} entry: secret pathway or secret messenger? *Colin W. Taylor* 269
Cholecystokinin and anxiety, *S. Ravard and C. T. Dourish* 271

Letters
Drug and tissue factors both confound K_A measurements, *Terry Kenakin, Paul H. Morgan and Michael Lutz* 273
Psychotomimetic effects and sigma ligands, *Jonathan Connick, Philip Fox and David Nicholson* 274
Middle road to 'victory', *Michael Balls* 275

Reviews
UDP-glucuronosyltransferases: a family of detoxifying enzymes, *Thomas R. Tephly and Brian Burchell* 276
Protein engineering and the study of structure–function relationships in receptors, *Walter H. J. Ward, David Timms and Alan R. Fersht* 280

The biology and clinical potential of growth factors that regulate myeloid cell production, *Anthony D. Whetton* 285
EAA PHARMACOLOGY: Excitatory amino acid receptors and synaptic plasticity, *Graham L. Collingridge and Wolf Singer* 290

Books
Understanding Insulin Action: Principles and Molecular Mechanisms, *by J. Espinal* 296
Computer-Aided Drug Design: Methods and Applications, *edited by T. J. Perun and C. L. Propst* 297
Pharmacoepidemiology, *edited by Brian L. Strom* 298
GABA: Basic Research and Clinical Applications, *edited by N. G. Bowery and G. Nisticò* 299
Antiarrhythmic Drugs (Handbook of Experimental Pharmacology, Vol. 89), *edited by E. M. Vaughan Williams* 300
Cell Signalling, *by N. G. Morgan* 300

AUGUST

Meeting Reports
More selective ligands at eicosanoid receptor subtypes improve prospects in inflammatory and cardiovascular research, *Heather Giles* 301
Understanding of the molecular basis of drug resistance in cancer reveals new targets for chemotherapy, *Robert B. Dickson and Michael M. Gottesman* 305

Current Awareness
A cure for wind up: NMDA receptor antagonists as potential analgesics, *Anthony H. Dickenson* 307
Nimodipine and the recovery of memory, *Ivan Izquierdo* 309

This and That: genetics, statistics and common sense, *B. Max* 311

Letters
Pfeiffer's rule OK? *Fulvio Gualtieri* 315
Barlow replies 316
Neurogenic inflammation, *John A. Kiernan* 316

Viewpoint
The role of membrane biophysical properties in the regulation of protein kinase C activity, *Richard M. Epand and David S. Lester* 317

Reviews
Flavin-containing monooxygenases: enzymes adapted for multisubstrate specificity, *Daniel M. Ziegler* 321
Pharmacological and biochemical properties of insect GABA receptors, *James J. Rauh, Sarah C. R. Lummis and David B. Sattelle* 325
Sensory neuron-specific actions of capsaicin: mechanisms and applications, *Stuart Bevan and János Szolcsányi* 330
EAA PHARMACOLOGY: Excitatory amino acid receptors in epilepsy, *Raymond Dingledine, Chris J. McBain and James O. McNamara* 334

Books
Conjugation Reactions in Drug Metabolism: An Integrated Approach, *edited by Gerard J. Mulder* 339
Inside the Drug Industry, *by Bert Spilker and Pedro Cuatrecasas* 339
New editions 340

SEPTEMBER

Meeting Report
Scientific highlights of the 1990 IUPHAR satellite symposia 341

Current Awareness
Overcoming barriers to the oral administration of peptide drugs, *S. S. Davis* 353
Caffeine as a model drug of abuse, *Stephen G. Holtzman* 355

Letters
Subtypes of the D_2 dopamine receptor, *Philip G. Strange* 357
Glutamate and schizophrenia, *Johannes Kornhuber* 357

Viewpoint
G protein activation: a receptor-independent mode of action for cationic amphiphilic neuropeptides and venom peptides, *Mouafak Mousli, Jean-Luc Bueb, Christian Bronner, Bruno Rouot and Yves Landry* 358

Obituary: Edith Bülbring, *Geoff Burnstock* 362

Reviews
Pharmacology of α-fluoromethylhistidine, a specific inhibitor of histidine decarboxylase, *Takehiko Watanabe, Atsushi Yamatodani, Kazutaka Maeyama and Hiroshi Wada* 363
Lipoxygenase metabolites of arachidonic acid in neuronal transmembrane signalling, *Daniele Piomelli and Paul Greengard* 367
Immunomodulatory activity of small peptides, *Vassil St Georgiev* 373
EAA PHARMACOLOGY: Excitatory amino acid neurotoxicity and neurodegenerative disease, *Brian Meldrum and John Garthwaite* 379

Books
Atrial Natriuretic Peptides, *edited by Willis K. Samson and Rémi Quirion* 387
Potassium Channels: Structure, Classification, Function and Therapeutic Potential, *edited by Nigel S. Cook* 388
Oxygen radicals: systemic events and disease processes, *edited by Dipak K. Das and Walter B. Essman* 388

OCTOBER

Meeting Report
Study of epigenesis throws up new targets for drug research, *Barbara Demeneix* 389

Current Awareness
Abnormalities in neural control of smooth muscle in virus-infected airways, *David B. Jacoby and Allison D. Fryer* 393
Reverse pharmacology applied to the cannabinoid receptor, *Allyn C. Howlett* 395

Current Techniques
Magnetic resonance as a non-invasive tool to study metabolism in pharmacological research, *Ofer Kaplan and Jack S. Cohen* 398

Letter
Direct activation of G proteins, *Domenico Regoli and François Nantel* 400

This and That: drug tolerance and great expectations, *B. Max* 401

Principles
Cumulative frequency curves in population analysis, *Richard Barlow* 404

Viewpoint
Dopamine D_1 receptor antagonism in schizophrenia: is there reduced risk of extrapyramidal side-effects? *Jarmo Hietala, Jaakko Lappalainen, Markku Koulu and Erkka Syvälahti* 406

Reviews
Clinical significance of pharmacological modulation of homocysteine metabolism, *Helga Refsum and Per Magne Ueland* 411
Structure–activity relationships of K^+ channel openers, *Gillian Edwards and Arthur H. Weston* 417
EAA PHARMACOLOGY: The behavioral pharmacology of NMDA receptor antagonists, *Joyce Willetts, Robert L. Balster and J. David Leander* 423

Books
Nicotine Psychopharmacology: Molecular, Cellular and Behavioural Aspects, *edited by S. Wonnacott, M. A. H. Russell and I. P. Stolerman* 429
Cardiovascular Function of Peripheral Dopamine Receptors, *edited by J. Paul Hieble* 430
New editions 430

NOVEMBER

Meeting Report
More models described for molecular 'target' of anesthetics and alcohols, *James P. Dilger and Leonard L. Firestone* 431

Current Awareness
Inhibitory mode for Ca^{2+} regulation, *Kazuhiro Kohama* 433
GABA transporter protein cloned from rat brain, *Norman G. Bowery* 435

Current Techniques
Intracerebral grafting of genetically modified cells acting as biological pumps, *Fred H. Gage* 437

Viewpoint
Stimulation intensity as critical determinant of presynaptic receptor effectiveness, *Sue Piper Duckles and Dénes Budai* 440

Reviews
Fibrinogen as a major risk factor in cardiovascular disease, *Nigel S. Cook and David Ubben* 444
Novel anxiolytics that act as partial agonists at benzodiazepine receptors, *Willy Haefely, James R. Martin and Peter Schoch* 452
Development of angiogenesis inhibitors for clinical applications, *Theodore E. Maione and Richard J. Sharpe* 457
EAA PHARMACOLOGY: The release and uptake of excitatory amino acids, *David Nicholls and David Attwell* 462

Books
Handbook of Experimental Pharmacology Volume 93: Pharmacology of Antihypertensive Therapeutics, *edited by D. Ganten and P. J. Mulrow* 468
Chemical Carcinogenesis and Mutagenesis I and II, *edited by C. S. Cooper and P. L. Grover* 469
New editions 470

DECEMBER

Meeting Report
Selectivity of action can be achieved with compounds acting at second messenger targets, *Daniela Corda, Alberto Luini and Silvio Garattini* 471

Current Awareness
Newly identified factors that alter host metabolism in cancer cachexia, *Michael J. Tisdale* 473
Drugs that reverse chloroquine resistance in malaria, *Salvatore Salomone and Théophile Godfraind* 475

Letters
Substrate specificity of protein kinase C inhibitors, *Lisardo Boscá, Maria Junco and Maria J. M. Díaz-Guerra* 477
Homocysteic acid as an endogenous excitatory amino acid, *Michel Cuenod, Kim Quang Do and Peter Streit* 477

This and That: the indelibility of memory and the chemotherapy of color, *B. Max* 479

Special Feature
The *TiPS* Lecture: The nicotinic acetylcholine receptor: an allosteric protein prototype of ligand-gated ion channels, *Jean-Pierre Changeux* 485

Reviews
Three-dimensional modelling of G protein-linked receptors, *John Findlay and Elias Eliopoulos* 492
EAA PHARMACOLOGY: The non-NMDA receptors: types, protein structure and molecular biology, *Eric A. Barnard and Jeremy M. Henley* 500
EAA PHARMACOLOGY: Pharmacological and functional characteristics of metabotropic excitatory amino acid receptors, *Darryle Schoepp, Joel Bockaert and Fritz Sladeczek* 508

Books
Neurobiology of Stereotyped Behaviour, *edited by S. J. Cooper and C. T. Dourish* 515
The Pharmacological Basis of Therapeutics, *edited by A. G. Gilman, T. W. Rall, A. S. Nies and P. Taylor* 516

***Erratum**
The phenylethanolamines: new selective agonists for atypical β-adrenoceptors 461